10/616,843 Page 1

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(FILE 'HOME' ENTERED AT 13:14:34 ON 21 NOV 2005)

FILE 'REGISTRY' ENTERED AT 13:14:42 ON 21 NOV 2005

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 3 S L1 OR L2 L4 115 S L3 FULL

FILE 'CAPLUS' ENTERED AT 13:16:19 ON 21 NOV 2005

L5 2 S L4

=> d que 15 stat

L1 STR

G1 O, N

Structure attributes must be viewed using STN Express query preparation. L2 STR

G1 O, N

Structure attributes must be viewed using STN Express query preparation. L4 $\,$ 115 SEA FILE=REGISTRY SSS FUL L1 OR L2

L5 2 SEA FILE=CAPLUS ABB=ON PLU=ON L4

=> d 1-2 bib abs hitstr

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
2004:80685 CAPLUS
140:146011
Preparation of bicyclic piperidine derivatives as antagonists of the CCR1
chemokine receptor
Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill;
Poas, Christopher Stanley
Pfizer Products Inc., USA
PCT Int. Appl., 90 pp.
CODEN: PIXXD2
Patent
English
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
PATÈNT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004009588 A1 20040129 WO 2003-183155 20030707

W: AL, AG, AL, AM, AT, AL, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, AM, ND, MG, MK, MM, MK, MZ, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, ND, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, TD, TG

CA 2492110 AA 20040129 CA 2003-12699 A 20030707

BR 2003012699 A 20050426 BR 2003-12699 20030707

ER: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, SI, IT, LY, FI, RO, MK, CY, AL, TR, BG, CZ, EE, RU, SK

JP 2005533845 T2 200310707

BRAPAT 140:146011

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$$\begin{bmatrix} R^{3} \\ O |_{C_{\mathbf{W}}} & z \end{bmatrix} \begin{bmatrix} O \\ R^{4} \end{bmatrix} \begin{bmatrix} R^{2} \\ R^{3} \end{bmatrix} \begin{bmatrix} R^{1} \end{bmatrix}_{\mathbf{a}}$$

The title compds. [I; a=1-5; b=0-4; c=0-1; Q=alkyl; W=aryl, heteroaryl; Y=0, NH, N(alkyl); Z=0, NH, N(alkyl), N(acetyl); Rl=H, halo, CN, NO2, etc.; R2, R3=H, alkyl, haloalkyl; R4=alkylene, (CM2)xO(CM2)y (wherein x, y=1-2); R5=H, halo, alkyl, etc.; R6=H, halo, alkyl, etc.], useful as potent and selective inhibitors of

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
652147-11-OP 652147-13-27 652147-13-4P
652147-17-6P 652147-13-27 652147-13-8P
652147-21-2P 652147-13-6P, 652147-13-6P
652147-21-2P 652147-23-4P 652147-23-6P
652147-20-0P 652147-31-4P 652147-33-6P
652147-35-9P 652147-31-6P 652147-42-P
652147-43-9P 652147-41-6P 652147-42-P
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652147-61-P 652147-72-3P 652147-60-P
652147-91-2P 652147-93-P9 652147-92-P
652147-91-2P 652147-93-P9 652147-93-P9
652149-23-7P 652149-93-P9 652169-22-6P
6531599-93-PP 653599-94-PP 633599-93-0P
653599-93-PP 633599-92-PP 633599-94-PP
653599-90-7P 633600-04-5P 633600-00-PP
653600-02-3P 633600-04-5P 633600-00-PP
653600-02-3P 633600-04-5P 633600-00-PP
65400Nine recentor) (prepn. of bicyclic piperidine derivs. as antagonists of the CCR1 chemokine receptor)
652146-57-1 CAPLUS
Benzamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-59-3 CAPLUS
8-Axablcyclo[3.2.1]octane, 8-[[2-[(aminocarbonyl)amino]-4-chlorophenoxy]acety]-3-(4-fluorophenoxy)-, (3-endo)- (9CI) (CA INDEX

Relative stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
MIP-la(CCL3) binding to its receptor CCR1 found on inflammatory and
immunomodulatory cells (preferably leukocytes and lymphocytes), were
prepd. E.g., a multi-step synthesis of (trans)-5-chloro-2-(2-[3-[4fluorophenoxy)-8-aza-bicyclo[3.2.1]oct-8-y1]-2-oxoethoxylbenzamide was
given. All exemplified compds. I had ICSO of <10 µM in the chemotaxis
assay. Pharmaceutical compds. I had ICSO of <10 µM in the chemotaxis
assay. Pharmaceutical compd. comprising the compd. I is claimed.
652147-27-8F 652147-91-69
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); RTU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclic piperidine derivs. as antagonists of the CCR1
chemokine receptor)
652147-27-8 CAPLUS
8-Azabicyclo[3.2.1]octane,
4-chloro-2-(hydroxymathyl)phenoxylacetyl]-3(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652147-91-6 CAPLUS
Acetic acid, [[5-chloro-2-{2-{(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy)- [9CI] (CA INDEX NAME)

Relative stereochemistry.

652146-57-1P 652146-59-3P 652146-62-8P 652146-64-0P 652146-66-2P 652146-68-7P 652146-71-9P 652146-71-3P 652146-75-3P 652146-75-3P 652146-77-3P 652146-77-3P 652146-77-3P 652146-83-3P 652146-83-3P 652146-83-3P 652146-83-3P 652146-83-3P 652146-83-3P 652146-83-3P 652146-98-69 652146-98-69 652146-98-69 652146-98-69 652146-98-69 652146-98-69 652146-98-69 652147-08-59 65214

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

652146-62-8 CAPLUS

Benzeneacetic acid, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-64-0 CAPLUS

Benzeneacetamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3,1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-66-2 CAPLUS
Benzeneacetamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxyl- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

RN 652146-69-5 CAPLUS
CN 8-Azabicyclo(3.2.1]octane,
8-[[2-(aminosulfonyl)-4-chlorophenoxy]acetyl]-3(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-71-9 CAPLUS RN b32140-11-3 d...... CN Benzamide, 2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-4-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-73-1 CAPLUS
Benzamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo(3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN Relative stereochemistry. (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

652146-80-0 CAPLUS
Benzamide, 5-chloro-2-{2-{(3-exo)-3-{4-fluorophenoxy}-8-azabicyclo[3.2.1]oct-8-yl}-2-oxoethoxy}-N-1H-tetrazol-5-yl-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

RN 652146-81-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl)carbonyl)phenoxy]acetyl]-3-[4-fluorophenoxy]-, (1R,58)[9C1) (CA INDEX NAME)

Absolute stereochemistry.

652146-82-2 CAPLUS
Benzamide, N-(2-minoethyl)-5-chloro-2-(2-((3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxosthoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN Relative stereochemistry.

652146-75-3 CAPLUS
Glycine, N-(5-chloro-2-[2-((3-exo)-3-(4-fluorophenoxy)-8azabicyclo(3.2.1)oct-8-yl)-2-oxoethoxy|benzoyl|- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-77-5 CAPLUS
Butanamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-3-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-79-7 CAPLUS
Benzamide, N-[2-{(aminocarbonyl)amino}ethyl]-5-chloro-2-{2-{(3-exo}-3-{4-yl)-2-oxoethoxy}- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652146-83-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-{{4-chloro-2-(4-morpholinylcarbonyl)phenoxy}a
cetyl]-3-(4-fluorophenoxyl-, (3-exo)- (9CI) (CA INDEX NAME) Relative stereochemistry.

652146-85-5 CAPLUS
Benzamide, 5-chloro-N-[2-(dimethylamino)ethyl]-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652146-86-6 CAPLUS
L-Proline, 1-[5-chloro-2-[2-{(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, {4R}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652146-87-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (IR,5S)[9C1)

(CA INDEX NAME)
Absolute stereochemistry.

RN 652146-90-2 CAPLUS
CN Benzamide, 5-chloro-2-{2-{(3-exo)-3-(4-fluorophenoxy)-8-arabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy}-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652146-92-4 CAPLUS

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652146-96-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-{[4-chloro-2-(1-hydroxy-1-methylethyl)phenoxy]acetyl}-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652146-97-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[(5-chloro-8-quinolinyl)oxy]acetyl]-3-[4-fluorophenoxy]-, (3-exo)- [9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-01-8 CAPLUS

Senzolc acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3,2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN 8-Azabicyclo[3,2,1]octane, 3-{4-fluorophenoxy}-8-[(2-[(methylsulfonyl)amino]-4-(trifluoromethyl)phenoxy]acetyl]-, (3-exo)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652146-94-6 CAPLUS
CN 2-Pyrrolidinecarboxamide,
i=[5-chloro-2-[2-[(1R,55)-3-(4-fluorophenoxy)-8azabicyclo[3,2:1]octan-8-yl]-2-oxoethoxy|benzoyl]-4-hydroxy-, (2S,45)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652146-95-7 CAPLUS
CN Benzamide, 5-chloro-2-[2-{(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1)-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

RN 652147-02-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[[4-chloro-2-{(methylsulfonyl)amino]phenoxy]a
cetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-04-1 CAPLUS
CN Benzeneacetic acid, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry. .

RN 652147-06-3 CAPLUS
CN Benzeneacetamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-ezabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy)- (9CI) (CA INDEX NAME)

RN 652147-08-5 CAPLUS

Senzeneacetamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy}-N-(methylsulfonyl)- (9CI) (CA
INDEX NAME)

Relative stereochemistry

RN 652147-10-9 CAPLUS
CN Benzemepropanoic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]otc-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-11-0 CAPLUS

Enzenepropanamide, 5-chloro-2-{2-{(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl}-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-18-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
3-(4-fluorophenoxy)-8-[(4-methylphenoxy)acetyl], (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{O} \bigcap_{S} \bigcap_{N} \bigcap_{O} \bigcap_{F} \bigcap_{F$$

RN 652147-19-8 CAPLUS CN 8-Azabicyclo(3.2.1)octane, 8-[(4-chlorophenoxy)acety]]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-21-2 CAPLUS
CN 8-Azabicyclo{3.2.1}octane, 8-{{2-acetyl-4-chlorophenoxy}acetyl}-3-{4-fluorophenoxy}-, {3-exo}- {9CI} (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-13-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-(phenoxyacetyl)-,
(3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-15-4 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 8-[(4-bromophenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-17-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-[[4(trifluoromethyl)phenoxy]acetyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-23-4 CAPLUS
CN Benzamide, 5-chloro-2-[2-{(3-exo}-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-25-6 CAPLUS
CN Benzamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-29-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[{4-brono-2-(hydroxymethyl)phenoxy]acetyl}-3{4-fluorophenoxy}-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-31-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-chloro-2-hydroxyphenoxy)acety1]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

RN 652147-33-6 CAPLUS
CN Acetic acid, [5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8arabicycloi3.2.1]oct-8-yl]-2-oxoethoxylphenoxyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-35-8 CAPLUS
CN 8-Azabicyclo(3.2.1)octane, 8-[(4-bromo-2-hydroxyphenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-37-0 CAPLUS

Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(2-hydroxyethyl)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Relative stereochemistry.

RN 652147-43-8 CAPLUS
CN 8-Azabicyclo{3.2.1]octane,
8-[[4-brono-2-[(methylsulfonyl)amino]phenoxy]ac
etyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-44-9 CAPLUS
CN Acetamide, N-[5-bromo-2-[2-[(3-exo)-3-[4-fluorophenoxy)-8-azbicyclo[3.2.1]oct-8-yl]-2-oxoethoxylphenyl]-N-(methylsulfónyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 652147-39-2 CAPLUS
CN Benzanide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azbicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(3-hydroxypropyl)- [9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-40-5 CAPLUS
CN L-Prollne, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy[phenoxy]- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652147-41-6 CAPLUS
CN L-Homoserine, O-(5-chloro-2-(2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-45-0 CAPLUS
CN Propanamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1]-2-oxocthoxy|pheny1]-2-hydroxy-2-methyl-N-(methylsulfony1)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-46-1 CAPLUS
CN Acctamide, N-[5-chloro-2-[2-{(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3,2.1]oct-8-yl]-2-oxoethoxy[phenyl]-2-hydroxy-N(mathylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-47-2 CAPLUS
CN Carbamic acid, [5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy|phenyl](methylsulfonyl)-, methyl ester (9C1) (CA INDEX NAME)

RN 652147-48-3 CAPLUS
CN Cyclopropanecarboxamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy]phenyl]-1-hydroxy-N(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-49-4 CAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(3-exo)-3-[4-fluorophenoxy)-8-azabicyclo[3.2.l]oct-8-yl]-2-oxoethoxy]phenyl]-2-methoxy-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-50-7 CAPLUS
CN Benzenemethanesulfonic acid,
5-chloro-2-[2-[1-3-exo]-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy]- [9CI] (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-55-2 CAPLUS
CN 61ycine, N-{|5-chloro-2-|{2-|(3-exo)-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-y1|-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-56-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[5-chloro-3-(4-morpholinylcarbonyl)-2pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-57-4 CAPLUS

8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(3S)-3-hydroxy-1pyrrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-,
[1R,5S]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

RN 652147-52-9 CAPLUS

N 3-Pyridinecarboxamide, 5-chloro-2-[[2-{(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX (AME)

Relative stereochemistry.

RN 652147-53-0 CAPLUS
CN 3-Pyridinecarboxamide,
5-chloro-N-[2-(dimethylamino)ethyl]-2-[[2-[(3-exo)3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry

RN 652147-54-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel(9CI)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN . (Continued)

RN 652147-59-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-{[{5-chloro-3-{[(2S)-2-{methoxymethyl}-1-pyrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (IR, 5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652147-61-0 CAPLUS
CN 2-Pyrrolidinecarboxamide,
1-[[5-chloro-2-[[2-[(1R,5S]-3-[4-fluorophenoxy)8-azabicyclo[3.2.1]octan-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652147-64-3 CAPLUS
CN L-Proline, l-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4R1- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 652147-66-5 CAPLUS
CN 3-Pyridinecarboxamide,
N-(2-amino-2-oxoethyl)-5-chloro-2-[[2-[(3-exo)-3-{4fluorophenoxy)-8-azabicyclo(3.2.1]oct-8-yl]-2-oxoethyl]amino}-, rel-

(CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 652147-67-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) azabicyclo{3.2.1}oct-8-y1}-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-74-5 CAPLUS
CN 3-Pyridinecarboxamide,
N-acetyl-5-chloro-2-{2-((3-exo)-3-(4-fluorophenoxy)8-azebicyclo[3.2.1]oct-8-yl]-2-oxoethoxy|- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-76-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[([3-amino-5-chloro-2-pyridinyl)oxylacetyl]-3(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-78-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-{[[3-[(aminocarbonyl)amino]-5-chloro-2-pyridinyl]oxylacetyl]-3-{4-fluorophenoxyl-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 652147-68-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[(2-((3-exo)-3-(4-fluorophenoxy)-8-axabicyclo[3,2:1]oct-8-yl]-2-oxoethyl]amino]-N-4-pyrimidinyl-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

RN 652147-69-8 CAPLUS
3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-N-(methylsulfonyl)-, rel[9C1] (CA INDEX NAME)

Relative stereochemistry.

RN 652147-70-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1]-2-oxoethyl]amino]-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-72-3 CAPLUS CN 3-Pyridinecarboxamide, 5-chloro-2-(2-[(3-exo)-3-(4-fluorophenoxy)-8-

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-80-3 CAPLUS
CN Acetamide, 2-amino-N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-arabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-83-6 CAPLUS
CN Benzamide, 5-chloro-2-{2-[(3-exo)-3-[(4-fluorophenyl)amino]-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-83-8 CAPLUS
CN Benzamide, 5-chloro-2-[2-[{7-endo}-7-(4-fluorophenoxy)-3-oxa-9azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

RN 652147-87-0 CAPLUS
CN Benzeneacetic acid, 5-chloro-2-(2-[(7-endo)-7-(4-fluorophenoxy)-3-oxa-9-azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy|- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-89-2 CAPLUS

CN Benzeneacetamide, 5-chloro-2-[2-[(7-endo)-7-(4-fluorophenoxy)-3-oxa-9-azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-90-5 CAPLUS CN Acetamide, 2-[[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 652147-95-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[(]14-tetrazol-5ylamino)methyl]phenoxylacetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy[phenyl]methoxy]-N-(methylsulfonyl)[9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-92-7 CAPLUS
CN Acetamide, 2-[[5-chloro-2-[2-[(3-exo]-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652147-94-9 CAPLUS
CN Acetamide, 2-{[5-chloro-2-{2-{(3-exo)-3-(4-fluorophenoxy)-8-

azabicyclo(3.2.1)oct-8-yl)-2-oxoethoxy]phenyl]methoxy]-N-1H-tetrazol-5-yl, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652147-97-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-[(5-amino-1H-tetrazol-1-y1]methyl]-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

RN 652147-98-3 CAPLUS
CN 8-Azabicyclo{3.2.1|octane, 8-{[4-chloro-2-(1H-tetrazol-5-ylmethyl)phenoxy}acetyl}-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652148-22-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(1H-tetrazol-5-yl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

RN 652148-23-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[[4-chloro-2-(methylsulfonyl)phenoxy]acetyl]3-{4-fluorophenoxy}-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652148-24-8 CAPLUS
3-Pyridinecarboxamide, 5-chloro-2-[{2-[3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1}-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)

652148-26-0 CAPLUS
Butanolc acid, 4-[[5-chloro-2-[[2-[(3-exo)-3-[4-fluorophenoxy)-8-azabicyclo[3,2.1]oct-8-y1]-2-oxoethyl]amino]-3-pyridinyl]amino]-4-oxo-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

652148-36-2 CAPLUS
Benzoic acid, 4-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

653599-84-9 CAPLUS
8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(3S)-3-hydroxy-1-pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-(CA INDEX NAME)

Absolute stereochemistry.

653599-85-0 CAPLUS
D-Proline, l-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

653599-86-1 CAPLUS
L-Proline, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy|benzoyl]-4-hydroxy-, {45}- (9CI) {CA INDEX NAME;}

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN y1]-2-oxoethoxy]- (9CI) (CA INDEX NAME) (Continued)

Relative stereochemistry.

653599-80-5 CAPLUS
Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

653599-81-6 CAPLUS 8-Azabicyclo[3.2.1]octane, 8-[[2-[(aminocarbonyl)amino]-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

 $\begin{array}{lll} 653599-83-8 & CAPLUS \\ 8-Azabicyclo\{3,2,1\}octane, & 8-\{\{4-chloro-2-\{\{\{2S\}-2-(methoxymethyl\}-1-pyrrolidinyl\}carbonyl\}phenoxy\}acetyl\}-3-\{4-fluorophenoxy\}-, & (3-exo)-\\ \end{array}$ (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

RN 653599-87-2 CAPLUS
CN 2-Pyrrolidinecerboxamide,
1-[5-chloro-2-[2-[3-exo]-3-(4-fluorophenoxy)-8azabicyclo{3.2.1}oct-8-y1]-2-oxoethoxyjbenzoy1}-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 653599-88-3 CAPLUS
CN 2-Pyrrolidinecarboxamide,
1-[5-chloro-2-[2-[3-exo]-3-(4-fluorophenoxy)-8azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy|benzoyl]-4-hydroxy-, (2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

653599-90-7 CAPLUS
Benzeneacetamide, 5-chloro-2-{2-{(3-exo)-3-{4-fluorophenoxy}-8-azabicyclo{3.2.1}oct-8-y1}-2-oxoethoxy}- (9CI) (CA INDEX NAME)

653599-92-9 CAPLUS
Benzeneacetamide, 5-chloro-2-[2-[(3-exo)-3-{4-fluorophenoxy}-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy}-N-(methylsulfonyl)- (9CI) (CA
INDEX NAME)

653599-94-1 CAPLUS 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[[3R]-3-hydroxy-1-pyrroldiny][arbonyl]-2-pyridinyl]amino]acetyl]-3-[4-fluorophenoxy]-, (3-exo)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pyrrolidinecarboxamide,
1-[5-chloro-2-[[2-(3-exo)-3-(4-fluorophenoxy)- 8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]smino]-3-pyridinyl]carbonyl]-4hydroxy-, (25, 48)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

653600-02-3 CAPLUS L-Proline, 1-[[5-chloro-2-[[2-{(3-exo)-3-(4-fluorophenoxy)-8-arabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4S)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

653600-04-5 CAPLUS
D-Proline, 1-[[5-chloro-2-[[2-[(3-exo)-3-[4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry.

653599-96-3 CAPLUS 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(2R)-2-(methoxymethyl]-1-pyrrolidinyl]carbonyl]-2-pyridinyl}amino]acetyl]-3-(4-fluorophenoxy)-, [3-exo]- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

653599-98-5 CAPLUS
2-Pyrrolidinecarboxamide,
5-chloro-2-[{2-[(3-exo]-3-(4-fluorophenoxy)8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4hydroxy-, (2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

653600-00-1 CAPLUS

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

653600-08-9 CAPLUS
Benzeneacetic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy|- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652148-18-0P 652148-19-1P 652148-20-4P
652148-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of bicyclic piperidine derivs. as antagonists of the CCR1
chemokine receptor)
652148-18-0 CAPLUS
8-Azabicyclo[3.2.1]octane, 8-[(4-chloro-2-formylphenoxy)acety1]-3-(4fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 652148-19-1 CAPLUS
CN Acetic acid, [[5-chloro-2-[2-[(3-exo)-3-[4-fluorophenoxy]-8-arabicyclo[3.2.1]oct-8-y1]-2-oxoethoxy]phenyl]methoxy]-,
1,1-dimethylethyl

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN ester (9CI) (CA INDEX NAME) (Continued)

Relative stereochemistry.

RN 652148-20-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-([4-chloro-2-(chloromethyl)phenoxy]acetyl]-3(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

652148-21-5 CAPLUS 8-Azabicyclo[3.2.1)ectane, 8-[[4-chloro-2-(cyanomethyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 3

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) in vitro showed IC50 of 0.4 µL/mL against Rho-kinase. 478838-06-19 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of heterocyclic compds. as Rho-kinase inhibitors)
478838-06-1 CAPLUS
8-Azabicyclo[3.2.1]octan-3-amine, N-1H-indazol-5-yl-8-(phenoxyacetyl)(SCI) (CA INDEX NAME)

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN 2002:964330 CAPLUS 138:93295
Preparation of heterocyclic compounds as Rho-kinase inhibitors Imazaki, Naonori: Kitano, Masafumi: Ohashi, Naohito; Matsui, Kazuki Sumitomo Pharmaceuticals Company, Limited, Japan PCT Int. Appl., 425 pp. CODEN: PIXXD2
Patent AN DN TI IN PA SO DT Patent
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FAN.CNT 1
PATENT NO. APPLICATION NO. KIND DATE DATE W0 2002100833 Al 20021219 W0 2002-JP5609 20020606
W: AE, AG, AL, AN, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, HA, MD, MG, MK, MN, MW, MK, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, ΡI TM

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FT, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GM, GO, GW, ML, MR, NE, SN, TD, TD,

R: AT, BB, CH, DE, DK, ZS, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

US 2004138286 A1 2004015 US 2003-480526 20031212

PRAI JF 2001-176826 A 20010612

JF 2001-398992 A 20011228

WC 2002-175635 W 20020606 TH MARPAT 138:39295

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other;
A is a saturated or unsatd. five-membered heterocycle; X is a single

bond,

N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl,
substituted or unsubstituted alkyl, or the like; R2 is hydrogen,
halogeno,
nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3
is hydrogen, substituted or unsubstituted alkyl, or the like; are
prepared
N-(1-Benzyl-4-piperidinyl)-lH-indazole-5-amine dihydrochloride
monohydrate

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L7	17	SEA FILE=CAPLUS ABB=ON PLU=ON	("BROWN MATTHEW F W"/AU OR
		"BROWN MATTHEW FRANK"/AU)	
L8	20	SEA FILE=CAPLUS ABB=ON PLU=ON	("HAYWARD MATTHEW M"/AU OR
		"HAYWARD MATTHEW MERRILL"/AU)	
L9	24	SEA FILE=CAPLUS ABB=ON PLU=ON	("POSS CHRISTOPHER S"/AU OR
		"POSS CHRISTOPHER STANLEY"/AU)	
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L11	5	SEA FILE=CAPLUS ABB=ON PLU=ON	L10 AND PIPERIDINE

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L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2004:80685 CAPLUS
DOCUMENT NUMBER: 140:146011
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140:146011
Preparation of bicyclic piperidine
derivatives as antagonists of the CCR1 chemokine
receptor
Alumburg, Laura Cook; Brown, Matthew
Frank; Hayward, Matthew Merrill;
Poss, Christopher Stanley
Pfizer Products Inc., USA
PCT Int. Appl., 90 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	KIND DATE																		
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		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL.	TJ,	TM,	TN.	TR.	TT.		
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OTHER SOURCE(S): GRAPHIC IMAGE:

MARPAT 140:146011

L11 ANSWER 2 OF 5
ACCESSION NUMBER:
DOCUMENT NUMBER:
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DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

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WO 2003-IB2876

W 20030707

OTHER SOURCE(S): GRAPHIC IMAGE:

MARPAT 140:146007

ABSTRACT: Title compds. (I; m = 1-5; n = 0-4; p = 0-1; Q = alkyl; W = aryl, heteroaryl; I = 0, NR8; R8 = H, alkyl; 2 = 0, NR9; R9 = H, alkyl, AC; R1 = H, halo, cyano, NO2, CF3, OCF3, alkyl, OH, alkylcarbonyloxy, alkoxy; R2-R5 = H, (halo)alkyl; R6

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ABSTRACT:
The title compds. [1; a = 1-5; b = 0-4; c = 0-1; Q = alkyl; W = aryl,
heteroaryl; Y = 0, NH, N(alkyl); Z = 0, NH, N(alkyl), N(acetyl); R1 = H, halo,
CN, NO2, etc.; R2, R3 = H, alkyl, haloalkyl; R4 = alkylene, (CH2)x0(CH2)y
(wherein x, y = 1-2); R5 = H, halo, alkyl, etc.; R6 = H, halo, alkyl, etc.; No
cceptor CCRI found on inflammatory and immunomodulatory cells (preferably
leukocytes and lymphocytes), were prepared E.g., a multi-step synthesis of
(trans)-5-chloro-2-[2-[3-(4-fluorophenoxy)-8-aza-bicyclo[3.2.1]oct-8-yl]-2oxoethoxylbenzamide was given. All exemplified compds. I had IC50 of <10 µM
in the chemotaxis assay. Pharmaceutical composition comprising the compound
I is
claimed.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

Lil ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

= H, halo, (halo)alkyl, cyano, alkoxy, aminocarbonyl, carboxy, alkylcarbonyl, (halo)alkoxy; R7 = H, halo, (halo)alkyl, dialkylaminoalkylaminocarbonyl, alkoxy, aminocarbonyl, ureido, aminosulfonyl, alkylsulfonylaminoalkylamino, aminosulfonylamino, heteroaryloxy, ureidoalkylaminocarbonyl, etc.; 21 of R2-R5 = alkyll, were prepd. Thus, 2-(2-amino-4-chlorophenoxy)-1-[4-(4-fluorophenoxy)piperidin-1-yl]ethanone (prepn. given) in CH2C12 was treated with with Et3N and Ph chloroformate, The reaction was stirred at ambient temp, for 4 h, concd. in vacuo, and the resulting residue dissolved in methanol followed by bubbling in ammonia gas for 10 min and stirred overnight at ambient temp. to give [5-chloro-2-[2-[4-(4-f-fluorophenoxy]piperidin-1-yl]-2-oxoethoxy]phenyl]urea. I inhibited chemotaxis with IC50 <10 µM.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 3

FORMAT

10/616,843 Page 15

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L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:314940 CAPLUS DOCUMENT NUMBER: 136:340711 Bridged piperazine derivative
                                                             LOUIS CAPTION

136:340711

Bridged piperazine derivatives, specifically
3,8-diazabicyclo[3.2.1]octane, 8-
arabicyclo[3.2.1]octane, 2,5-
diazabicyclo[3.2.1]octane, and 3,9-
diazabicyclo[3.3.1]nonane derivatives, useful as
inhibitors of chemokines binding to CCR1 receptors,
for treating infiamation and other immune disorders.
Blumberg, Laura Cook; Brown, Matthew
Frank; Glaude, Ronald Paul; Poss,
Christopher Stanley
Pfizer Products Inc., USA
PCT Int. Appl., 89 pp.
CODEN: PIXXD2
Patent
English
1
 INVENTOR(S):
 PATENT ASSIGNEE(S):
SOURCE:
 DOCUMENT TYPE:
  LANGUAGE:
 FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
        PATENT NO.
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L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1999:811245 CAPLUS DOCUMENT NUMBER: 132:49976 TITLE: Preparation of pyrrolo[2,3-d] inhibitors Preparation of pyrrolo[2,3-d]pyrimidines as

MARPAT 136:340711

of protein tyrosine kinases such as Janus Kinase 3 Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven Pfizer Products Inc., USA PCT Int. Appl., 46 pp. CODEN: PIXXD2 INVENTOR (S) :

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English LANGUAGE:

OTHER SOURCE(S): GRAPHIC IMAGE:

FAMILY ACC. NUM. CO PATENT INFORMATION:	UNT: 1							
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WO 9965909		. 19991				19990	614	
W: AL, AM								
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BR 9912171 JP 2002518394 JF 3497823 TW 342834 CN 1125070 NZ 508034 AT 270673 PT 1087971 ES 2223172 ZA 9904003 AP 1157 AP 1157 US 6635762	, LV, FI,	RO						
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US 6635762 NO 2000006454 HR 2000000886 BG 105122 HK 1036800 US 2004058922	A	20011	031	BG 2001-	105122		20010	108
HK 1036800	A1	20040	227	HK 2001-	107740		20011	106
US 2004058922	A1	20040	325	US 2003-	640079		20030	813
PRIORITY APPLN. INFO	o.:			US 1998-	89886P	₽	19980	619
				WO 1999-	IB1110	w	19990	614

US 1999-335030

Al 19990617

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$R-\{Z\}-\{Y\}_m-\{X\}_q$$

$$N_{abc}$$

$$D_{bc}$$

$$I$$

ABSTRACT: ABSTRACT:
Compds. I and their pharmaceutically acceptable salts, useful for treatment of inflammation and other immune disorders, are disclosed (wherein: n = 1-5; m = 1-5; q = 0-1; a, b, c = (CH2)0-4 (independently); a, b, and c cannot all be null; if and/or c is not null, then b must be null; w = CH or N; X = CO, C(S), or CH2; Y = CH2; Z = 0, (un)substituted NH or (un)substituted CH2; R = certain (un)substituted (heterolary) or (heterologicalky); R! = (independently) H, OH, SO3H, halo, alky1, SH, CF3, wide variety of other substituents). The compds. are useful for treatment of a wide variety of diseases and disorders, which are cited specifically in claims. Approx. 100 specific examples of I are given, many with synthetic details. For example, 3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octan-2-one (preparation given) underwent a sequence of: (i) reduction of the amide carbonyl using LiAlH4 (948); (2)

underwent a sequence of: (1) reduction of the amide carbonyl using LiAlH4 (94%); (2) 8-N-acylation with chloroacetyl chloride (69%); and (3) etherification with 2-nitro-4-trifluoromethylphenol (58%), to give title compound II. In a

oroassay for the ability to inhibit chemotaxis of various cells (THP-1 cells, primary human monocytes, or primary lymphocytes) in vitro, all example compds. had IC50 values of less than 10 µM.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN OTHER SOURCE(S): MARPAT 132:49976 GRAPHIC IMAGE: (Continued)

ABSTRACT:
The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; N = 0-3; X, B, D = 0, S[O]d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CT3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as

Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type

I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = C1: R3 = H], starting with 4-chloro-TH-pytrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:811244 CAPLUS
DOCUMENT NUMBER: 132:49975
ITITLE: 12:49975
INVENTOR(S): Blumenkopf, Todd Andrew: Flanagan, Mark Edward: Brown, Matthew Frank; Changelian, Paul Steven
PATENT ASSIGNEE(S): PIZEY Products Inc., USA
COORE: PIXEY
DOCUMENT TYPE: COOR: PIXXD2
DATENT TAPPL: Products Inc., USA
PATENT INFORMATION: English
FAMILY ACC. NUM. COUNT: English
FAMILY ACC. NUM. COUNT: 1
   DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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	WO 9965908					A1 19991223					WO 1	999-		19990614					
		w:	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BY.	CA.	CH.	CN.	CU.	CZ.	DE.	
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	EP	1087	970			A1		2001	0404		EΡ	199	9-	9224	54		1	9990	614
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		2005				A1		2005	0804		US	200)5-	6487	3		2	0050	
RIO	RITY	APP	LN.	INFO	. :						US	199	-86	8986	6P		P 1	9980	619

WO 1999-IB1100 W 19990614 US 1999-335121 B1 19990617 A1 20010919 US 2001-956645 US 2003-442807 A3 20030520

MARPAT 132:49975 OTHER SOURCE(S): GRAPHIC IMAGE:

ABSTRACT:
The title compds. [I; Rl = N(R4) (CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkenyl, etc.; R5 = trifluoromethylalkyl, (un)substituted cycloelkyl, etc.); R2, R3 = H, NH2, halo, etc.], inhibitors of the enzyme protein tyrosine kinases such as Janus Kinase 3 (JAK3) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, Leukemia and other autoimmune diseases, were prepared Thus, reacting 4-chloro-7H-pyrrolo[2,3-d]pyrimidine with N-methylcyclohexylamine; R2 = R3 = H]. Compds. I are effective in the treatment of, e.g., asthma, at 0.1-1000 mg/day.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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(FILE 'HOME' ENTERED AT 13:14:34 ON 21 NOV 2005)

FILE 'REGISTRY' ENTERED AT 13:14:42 ON 21 NOV 2005 STRUCTURE UPLOADED Ll STRUCTURE UPLOADED L2 L3 3 SEA SSS SAM L1 OR L2 D SCAN 115 SEA SSS FUL L1 OR L2 L4FILE 'CAPLUS' ENTERED AT 13:16:19 ON 21 NOV 2005 2 SEA ABB=ON PLU=ON L4 L5 D QUE L5 STAT D 1-2 BIB ABS HITSTR E BLUMBERG LAURA/AU 2 S E3-E4 L*** DEL L6 13 SEA ABB=ON PLU=ON ("BLUMBERG LAURA C"/AU OR "BLUMBERG LAURA COOK"/AU) E BROWN MATTHEW/AU L7 17 SEA ABB=ON PLU=ON ("BROWN MATTHEW F W"/AU OR "BROWN MATTHEW FRANK"/AU) E HAYWARD MATTHEW/AU L8

8 20 SEA ABB=ON PLU=ON ("HAYWARD MATTHEW M"/AU OR "HAYWARD MATTHEW MERRILL"/AU)
E POSS CHRISTOPHER/AU

L9 24 SEA ABB=ON PLU=ON ("POSS CHRISTOPHER S"/AU OR "POSS CHRISTOPH ER STANLEY"/AU)

L10 50 SEA ABB=ON PLU=ON L6 OR L7 OR L8 OR L9 L*** DEL 0 S L10 AND PIPERDINE

L11 5 SEA ABB=ON PLU=ON L10 AND PIPERIDINE
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FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 NOV 2005 HIGHEST RN 868524-25-8 DICTIONARY FILE UPDATES: 20 NOV 2005 HIGHEST RN 868524-25-8

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- * The CA roles and document type information have been removed from *
- * the IDE default display format and the ED field has been added,
- * effective March 20, 2005. A new display format, IDERL, is now *

10/616,843 Page 18

* available and contains the CA role and document type information. *

*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

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